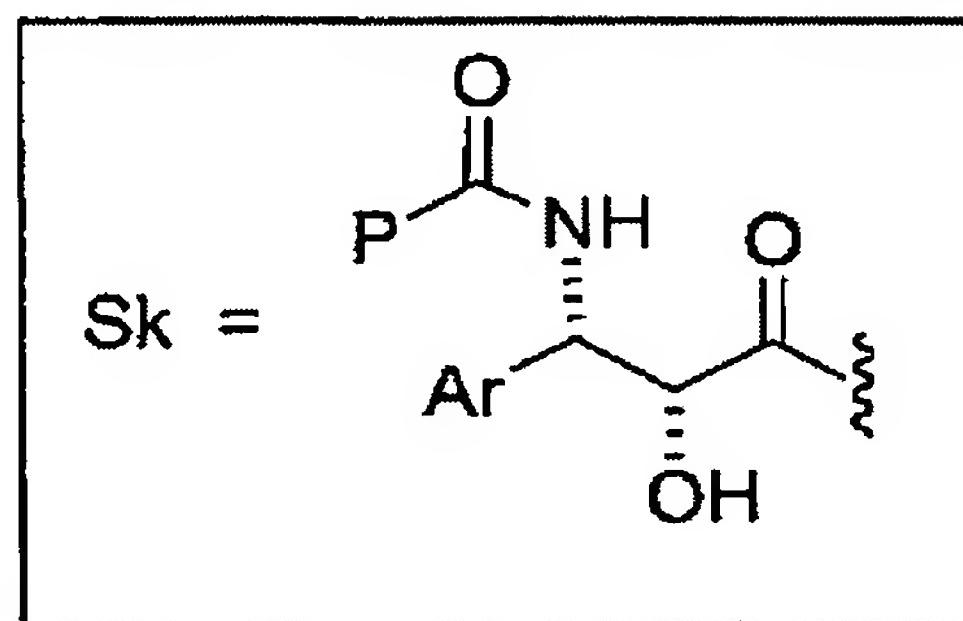
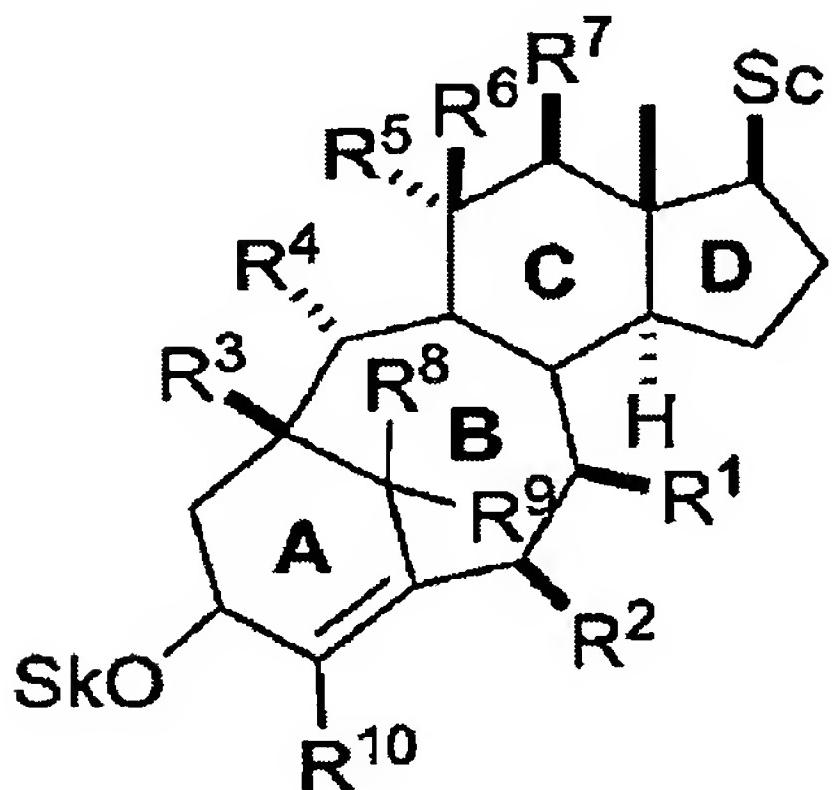


CLAIMS

1.- Compounds of formula (1), characterized by:



(1)

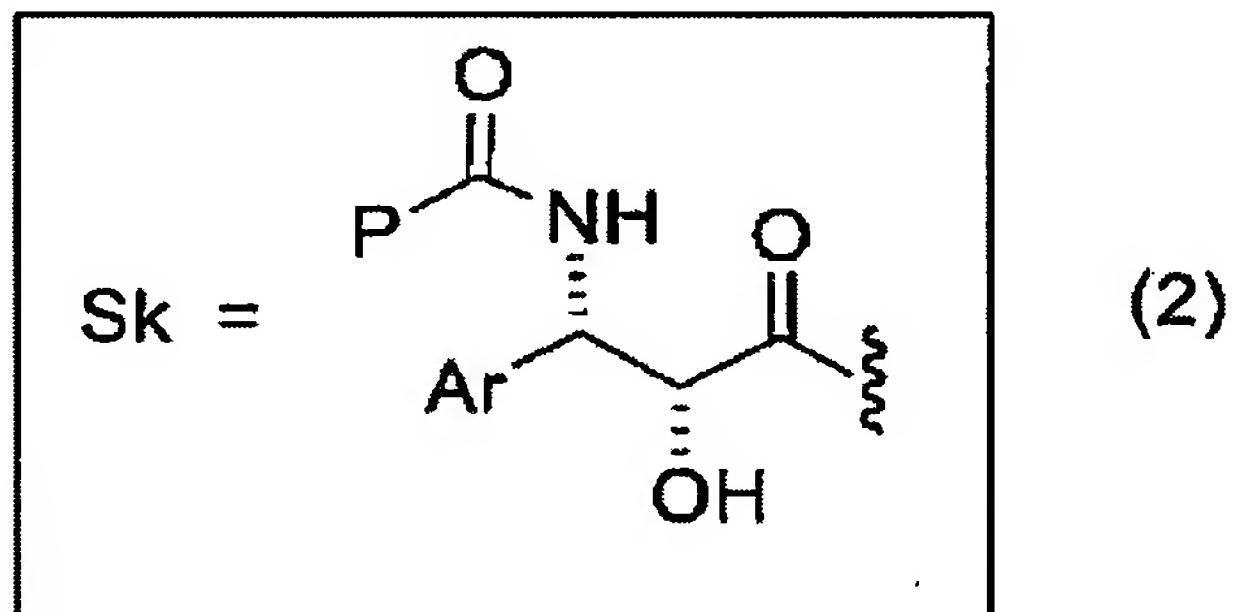
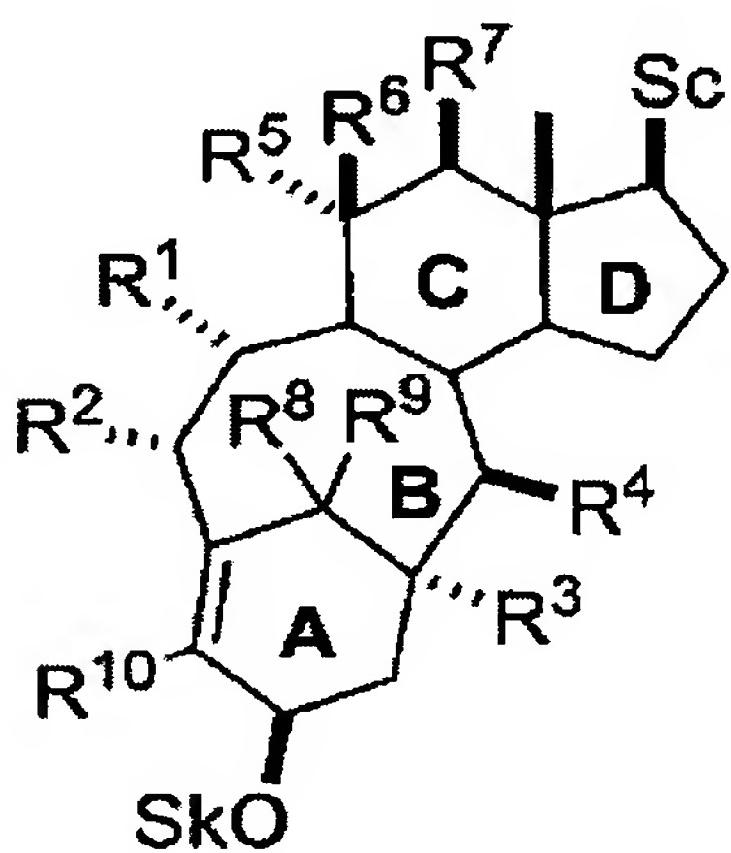
- wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ can be a hydrogen atom, an acyloxy, alkyloxy, aryloxy, alkylthio, arylthio or alkyl group with C₁-C₁₀ chains, in which the radical can be linear or branched alkyl with 1-10 carbon atoms, alkenyl with 2 to 10 carbon atoms, alkynyl with 3 to 10 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxy carbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical containing 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals with 1 to 4 carbon atoms; and

- Sc is the characteristic side chain of steroids or a linear or branched alkyl radical with 1-12 carbon atoms, alkenyl with 2 to 12 carbon atoms, alkynyl with 3 to 12 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl,

morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxy carbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms, or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical with 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alkyl radicals containing 1 to 4 carbon atoms; and

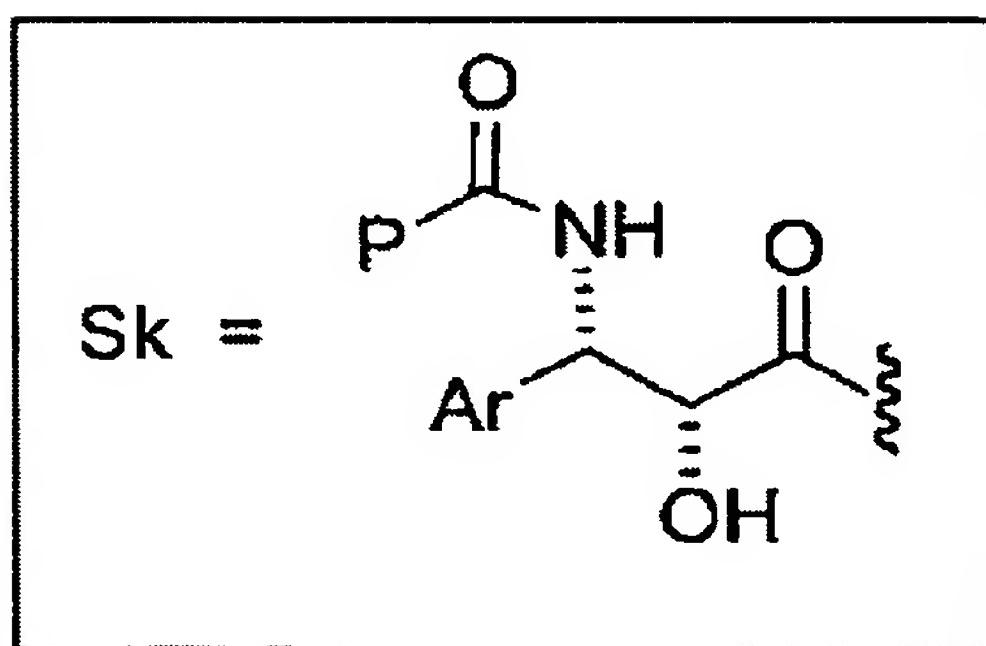
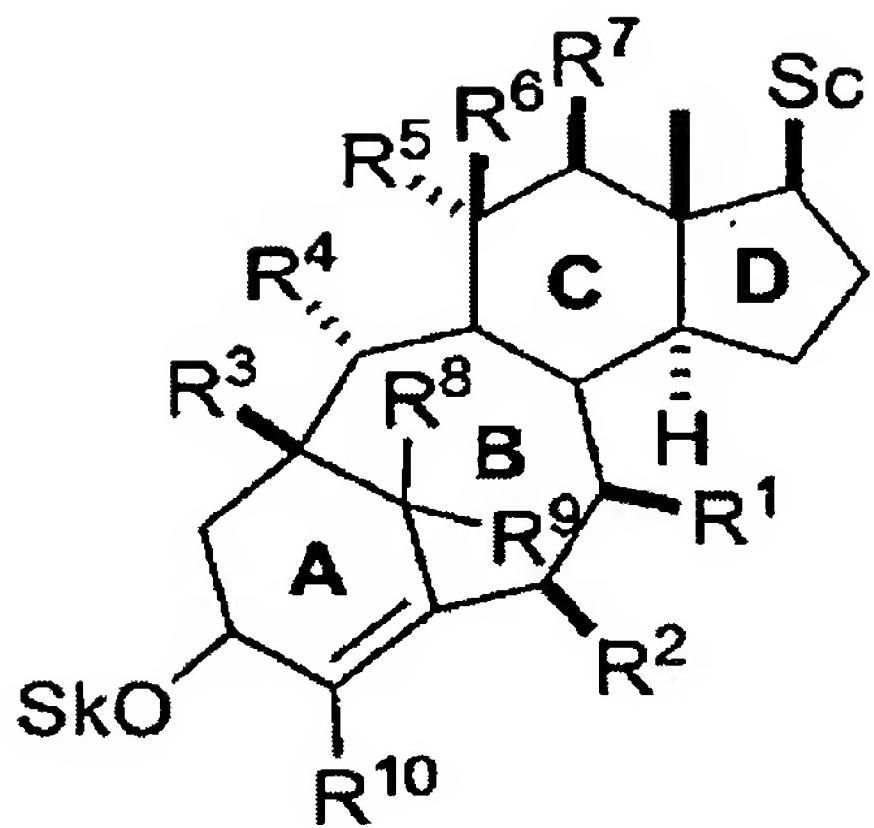
- Sk is an amino acid chain analogous to that of taxanes, in which P represents a phenyl group or an alkoxy radical with alkyl chains with 1 to 10 carbon atoms, alkenyl and alkynyl chains with 3 to 10 carbon atoms, cycloalkyl and cycloalkenyl chains with 4 to 7 carbon atoms in the ring, a phenyl or a heterocyclic compound, and Ar is an aromatic compound.

2.- Compounds of formula (2) characterized by:



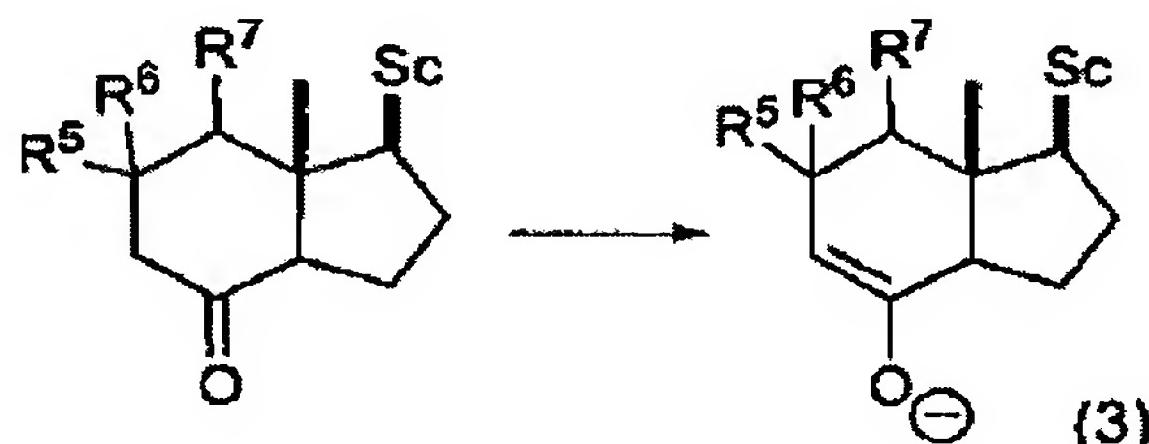
- wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ can be a hydrogen atom, an acyloxy, alkyloxy, aryloxy, alkylthio, arylthio or alkyl group with C₁-C₁₀ chains, in which the radical can be linear or branched alkyl with 1-10 carbon atoms, alkenyl with 2 to 10 carbon atoms, alkynyl with 3 to 10 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which

- contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxy carbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical containing 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alky radicals with 1 to 4 carbon atoms; and
- 10 - Sc is the characteristic side chain of steroids or a linear or branched alkyl radical with 1-12 carbon atoms, alkenyl with 2 to 12 carbon atoms, alkynyl with 3 to 12 carbon atoms, cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms or bicycloalkyl with 7 to 10 carbon atoms; these radicals possibly being substituted by one or several identical or different substituents chosen from halogen atoms and hydroxy, alkoxy radicals containing 1 to 4 carbon atoms, piperidinyl, morpholinyl, piperazinyl-1 (possibly substituted at -4 by an alkyl radical with 1 to 4 carbon atoms or by a phenylalkyl radical, the alkyl part of which contains 1 to 4 carbon atoms), cycloalkyl with 3 to 6 carbon atoms, cycloalkenyl with 4 to 6 carbon atoms, phenyl, cyano, nitro, carboxy or alkoxy carbonyl, the alkyl part of which contains 1 to 4 carbon atoms, or a phenyl radical, possibly substituted by one or several identical or different radicals, chosen from alkyl radicals with 1 to 4 carbon atoms, or alkoxy radicals containing 1 to 4 carbon atoms, a saturated or unsaturated nitrogenous heterocyclic radical with 5 or 6 members, possibly substituted by one or several alkyl radicals with 1 to 4 carbon atoms, understanding that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals can possibly be substituted by one or several alky radicals containing 1 to 4 carbon atoms; and
- 15 - Sk is an amino acid chain analogous to that of taxanes, in which P represents a phenyl group or an alkoxy radical with alkyl chains with 1 to 10 carbon atoms, alkenyl and alkynyl chains with 3 to 10 carbon atoms, cycloalkyl and cycloalkenyl chains with 4 to 7 carbon atoms in the ring, a phenyl or a heterocyclic compound, and Ar is an aromatic compound.
- 20 3.- A process for preparing the compounds of formula (1), characterized, as the most important synthetic transformations, by the following steps:
- 25
- 30



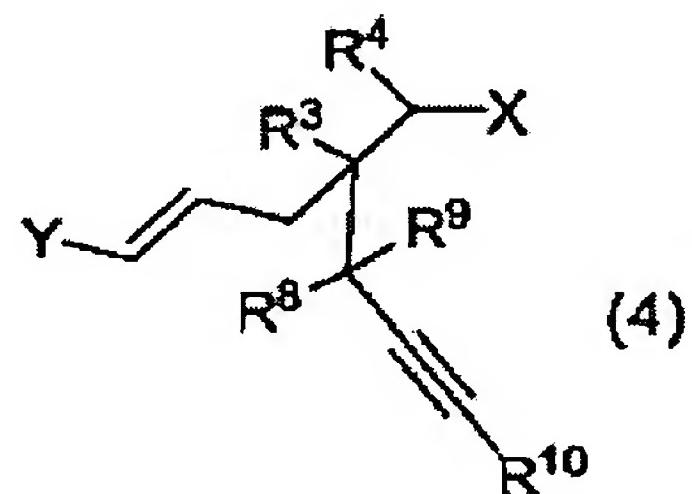
(1)

a) alkylation of the kinetic enolate of the ketones carrying the CD ring of steroids, of general formula (3),

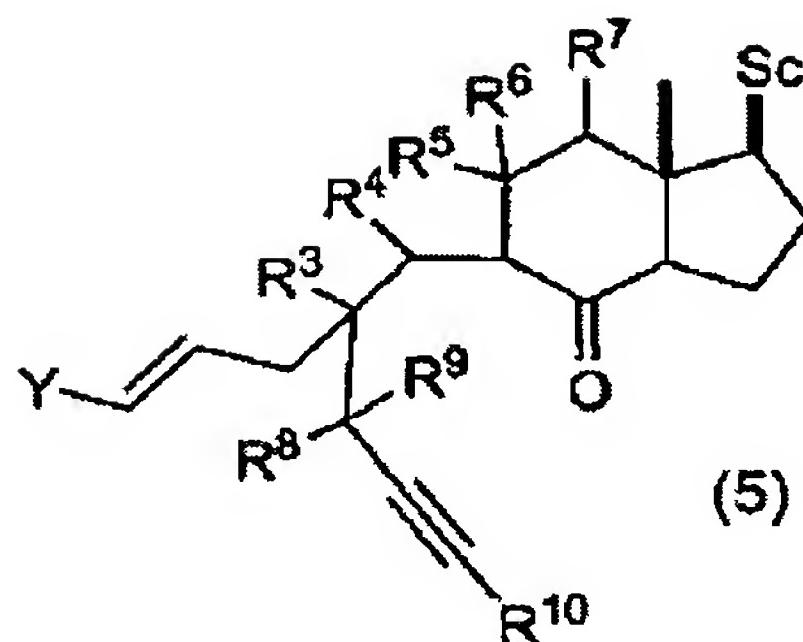


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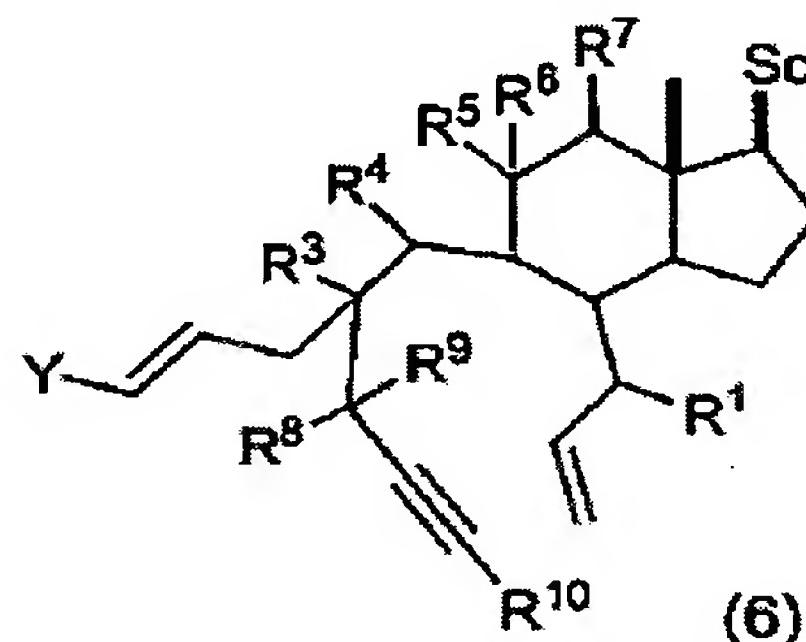
with the suitable alkylating agents of general formula (4),



obtaining as a reaction product compounds of general formula (5), wherein the Sc, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ groups have the structural characteristics indicated in claim 1, the X group can be a halogen, a sulfonate group, any other good leaving group or a carbonyl group, and the Y group can be a methyl, propyl, ethyl or isopropyl group:
10

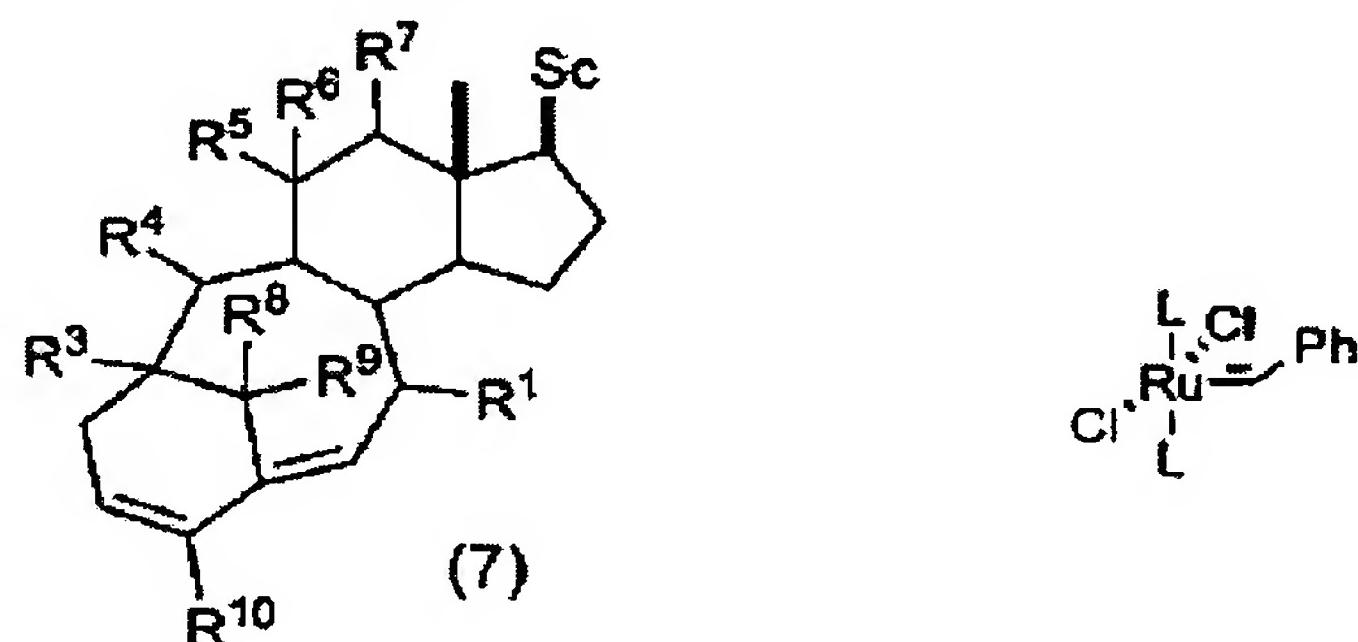


a) allylation of the compounds of general formula (5) of the previous step a) in an inert solvent to obtain the corresponding alcohols of general formula (6),



5 wherein the Sc, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ and Y groups have the characteristics described hereinbefore, and the R¹ group has the structural characteristics indicated in claim 1;

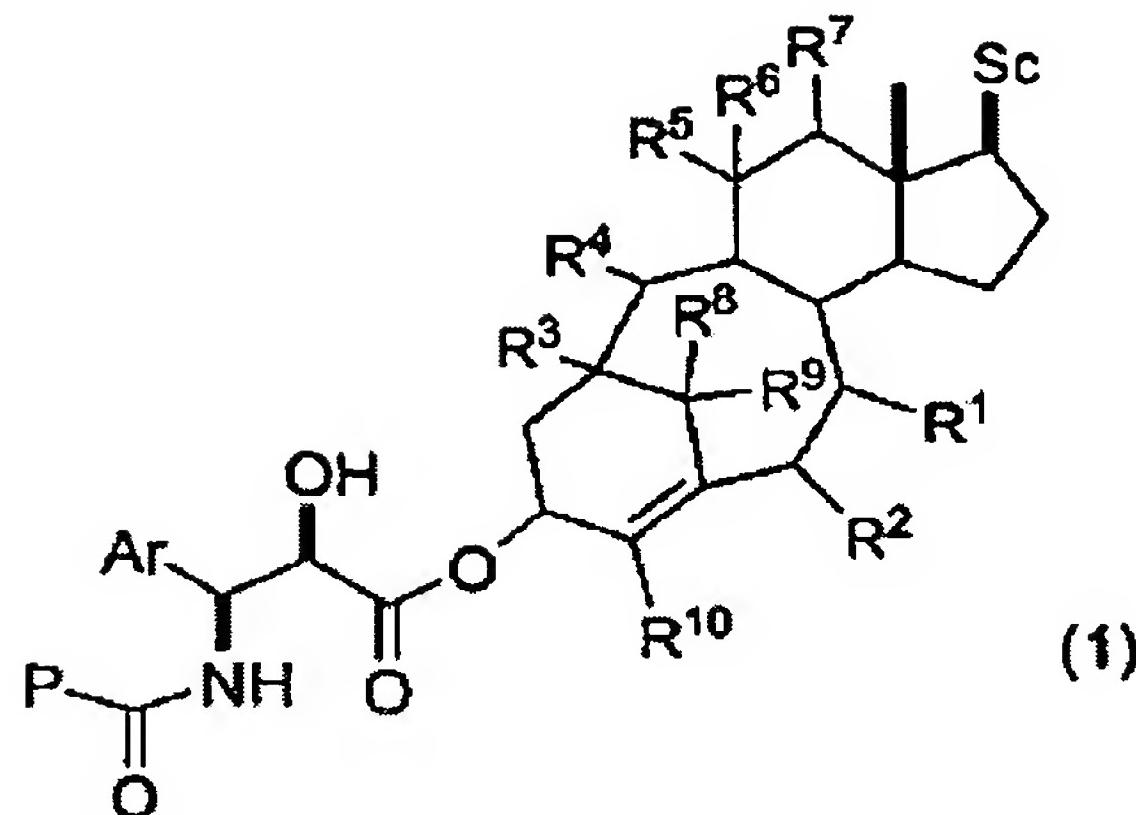
10 b) metathesis cyclization reaction of the dienynes of general formula (6) of the previous step b), catalyzed by metal carbene catalysts typical for this type of processes and in a suitable solvent, obtaining products of general formula (7),



wherein the Sc, R¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ groups have the previously given meaning;

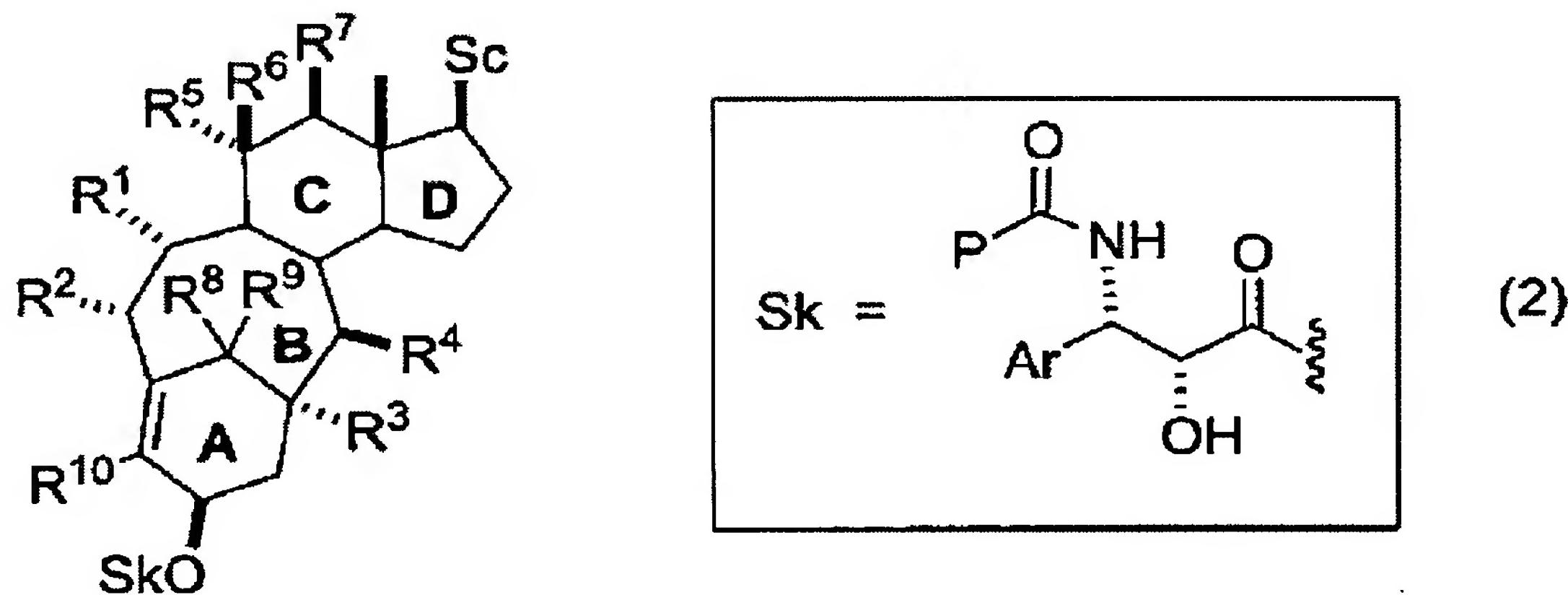
15 d) subsequent modifications of functional groups, such as oxidations, reductions, esterifications, alkylations, isomerizations, etc., to give the compounds of general formula (1),



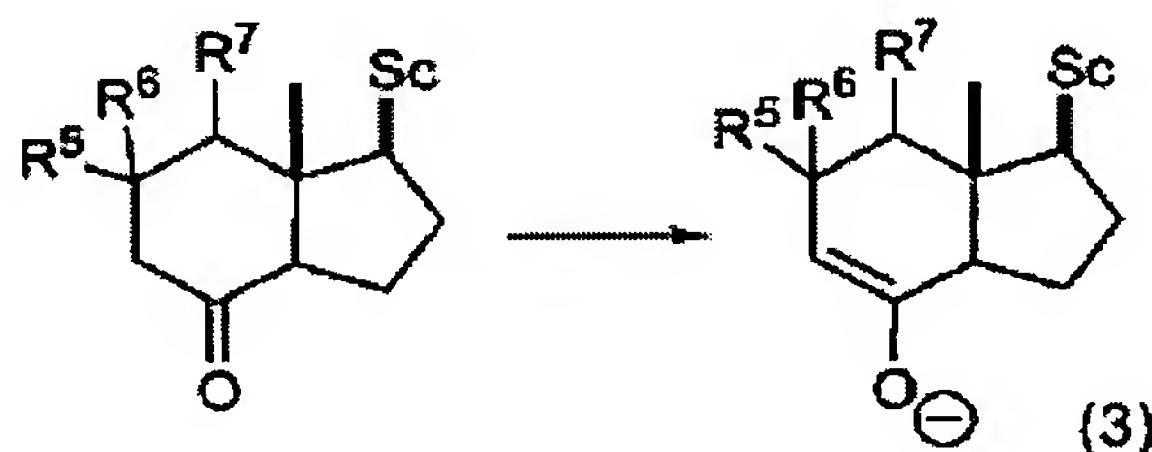


wherein the Sc, P, Ar, R¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ groups have the meaning previously given in claim 1.

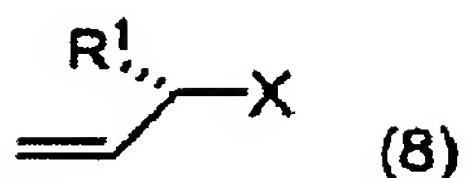
- 5 4.- A process for preparing the compounds of general formula (2), characterized, as the most important synthetic transformations, by the following steps:



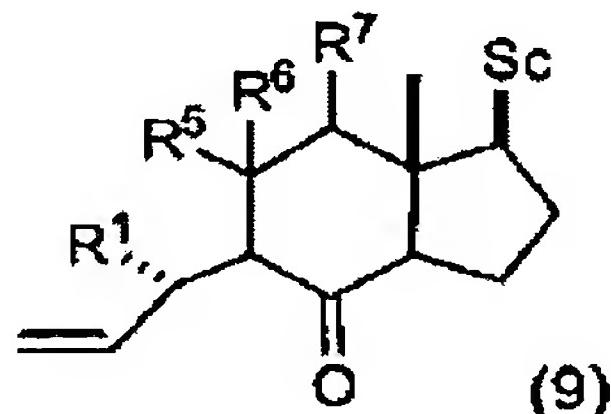
- 10 a) alkylation of the kinetic enolate of the ketones carrying the CD ring of steroids, of general formula (3),



with the suitable alkylating agents of general formula (8)

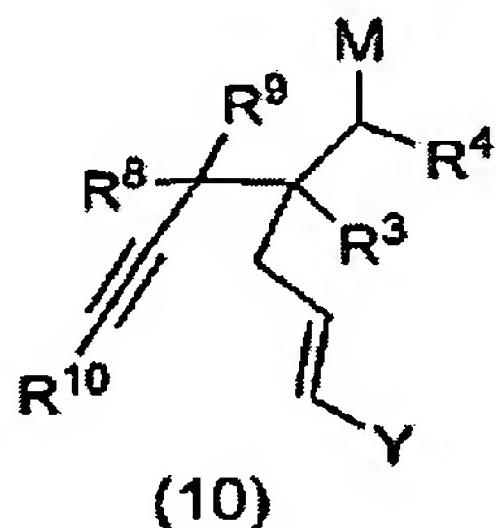


obtaining as a reaction product compounds of general formula (9), wherein the Sc , R^1 , R^5 , R^6 and R^7 groups have the structural characteristics indicated in claim 2, the X group can be a halogen, a sulfonate group, any other good leaving group,



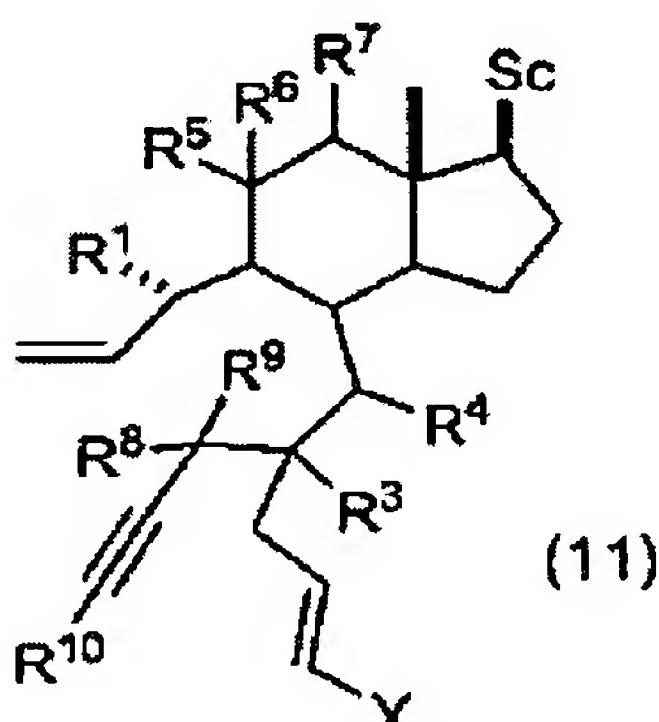
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b) alkylation of the carbonyl group of the compounds of general formula (9) of the previous step a) in an inert solvent, with the corresponding organometallic compounds of general formula (10),



10

wherein the R^3 , R^4 , R^8 , R^9 and R^{10} groups have the structural characteristics indicated in claim 2, M can be a metal having the characteristics of Mg , Li , Na , etc., and the Y group can be a methyl, propyl, ethyl or isopropyl group, to obtain the corresponding alcohols of general formula (11),

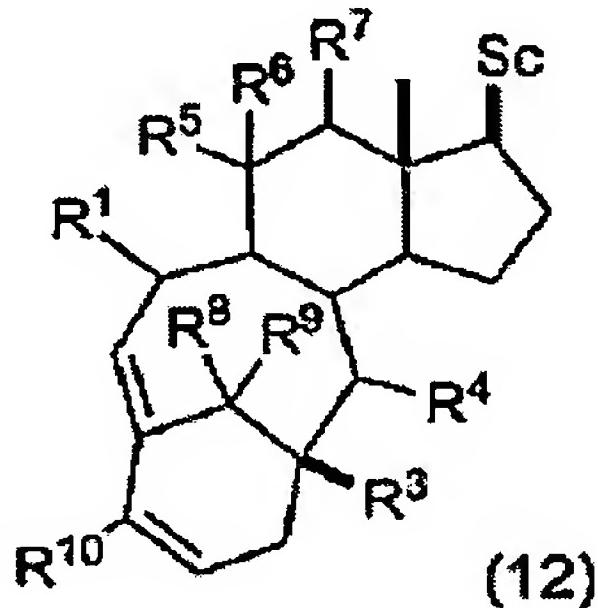


15

wherein the Sc , R^1 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} and Y groups have the previously

given meaning;

c) metathesis cyclization reaction of the dienynes of general formula (11) of the previous step b), catalyzed by metal carbene catalysts typical for this type of processes and in a suitable solvent, obtaining products of general formula (12),



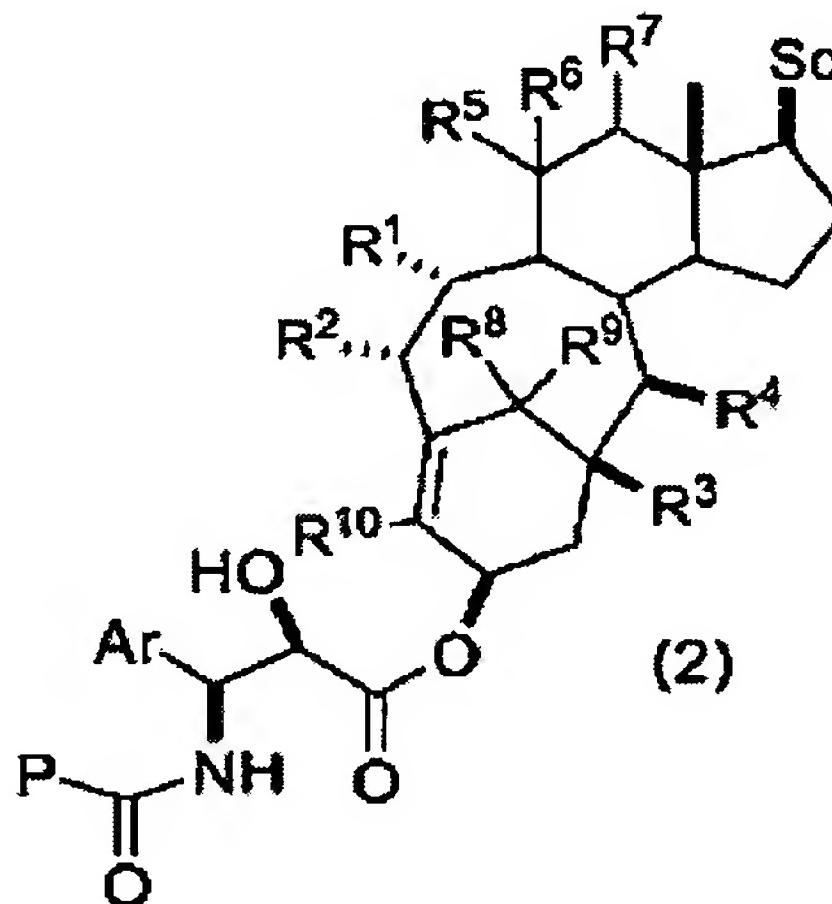
(12)

5

wherein the Sc, R¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ groups have the previous meaning;

d) subsequent modifications of functional groups, such as oxidations, reductions, esterifications, alkylations, isomerizations, etc., to give the compounds of general formula (2),

10



(2)

wherein the Sc, P, Ar, R¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ groups have the meaning previously given in claim 2.

5.- A pharmaceutical composition characterized in that it contains a compound of claims 1, 2, 3 and 4 as an active ingredient in a mixture with the suitable vehicle or carrier.

15 6.- Use of the compounds of general formulas 1 and 2 in the production of an antitumor pharmaceutical composition.

7.- Use of the compounds of general formulas 1 and 2 in the production of an

antifungal pharmaceutical composition.

8.- Use of the compounds of general formulas 1 and 2 in the production of an antimicrobial pharmaceutical composition.

9.- Use of the compounds of general formulas 1 and 2 in the production of an
5 antiviral pharmaceutical composition.

10.- Use of the compounds of general formulas 1 and 2 in the production of an immunosuppressant pharmaceutical composition.